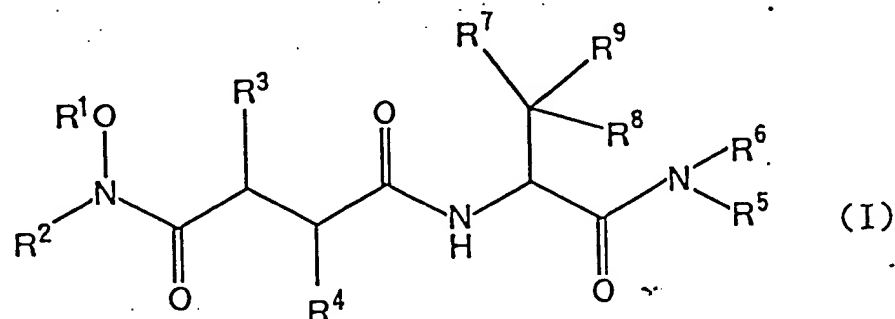


16. (Amended) A compound having the following formula (I):



wherein  $R^1$ ,  $R^2$ ,  $R^6$ ,  $R^7$  and  $R^8$  are each hydrogen,

1)  $R^3$  is  $(C_1-C_9)$  alkyl,

$R^4$  is  $(C_3-C_9)$  alkyl,

$R^5$  is  $(C_1-C_4)$  alkyl,

$R^9$  is  $-X-Y$ , and  $Y$  is  $-A-B$  or  $-B$ ,

wherein  $X$ ,  $Y$ ,  $A$  and  $B$  are selected from the following combinations:

- ①  $X$  is  $(C_1-C_6)$  alkylene,  $Y$  is  $-A-B$ ,  $A$  is imino and  $B$  is amidino;
- ②  $X$  is  $(C_1-C_6)$  alkylene,  $Y$  is  $-B$  and  $B$  is amino;
- ③  $X$  is phenylene,  $Y$  is  $-A-B$ ,  $A$  is lower  $(C_1-C_4)$  alkylene-imino and  $B$  is lower  $(C_1-C_4)$  acylimidoyl;
- ④  $X$  is  $(C_1-C_6)$  alkylene,  $Y$  is  $-A-B$ ,  $A$  is imino and  $B$  is selected from the group consisting of lower  $(C_1-C_4)$  acylimidoyl and benzimidoyl;
- ⑤  $X$  is phenylene,  $Y$  is  $-A-B$ ,  $A$  is lower  $(C_1-C_4)$  alkyl and  $B$  is amino; and
- ⑥  $X$  is phenylene,  $Y$  is  $-A-B$ ,  $A$  is imino and  $B$  is selected from the group consisting of tetra-lower  $(C_1-C_4)$  alkyl bis(phosphono)methyl and tri-lower  $(C_1-C_4)$  alkyl

bis(phosphono)methyl;

2)  $R^3$  is  $(C_1-C_9)$  alkyl,

$R^4$  is  $(C_3-C_9)$  alkyl,

$R^5$  is hydroxy-substituted  $(C_1-C_6)$  alkyl or a nitrogen-containing heterocyclic radical,

$R^9$  is  $-X-Y$ , and  $Y$  is  $-A-B$ ,

wherein  $X$  is  $(C_1-C_6)$  alkylene,

$A$  is imino and

$B$  is lower  $(C_1-C_4)$  acylimidoyl;

C1  
004.  
3)  $R^3$  is  $(C_1-C_9)$  alkyl,

$R^4$  is  $(C_3-C_9)$  alkyl,

①  $R^5$  is  $(C_3-C_7)$  cycloalkyl,

$R^9$  is  $-X-Y$ , and  $Y$  is  $-B$ ,

wherein  $X$  is  $(C_1-C_6)$  alkylene and

$B$  is amino; or

②  $R^5$  is a nitrogen-containing heterocyclic radical,

$R^9$  is  $-X-Y$ , and  $Y$  is  $-A-B$ ,

wherein  $X$  is phenylene,

$A$  is lower  $(C_1-C_4)$  alkylene-imino and

$B$  is lower  $(C_1-C_4)$  acylimidoyl;

4)  $R^3$  is  $(C_1-C_9)$  alkyl,

$R^4$  is  $(C_3-C_9)$  alkyl,

$R^5$  is carboxy-substituted lower  $(C_1-C_4)$  alkyl, di-lower  $(C_1-C_4)$  alkylamino-substituted lower  $(C_1-C_4)$  alkyl or hydroxy-substituted  $(C_1-C_6)$  alkyl, and

$R^9$  is  $-X-Y$ ,

wherein  $X$  is phenylene and

Y is -A-B,

wherein A and B are selected from the following combinations:

- ① A is lower ( $C_1-C_4$ ) alkylene-imino and  
B is lower ( $C_1-C_4$ ) acylimidoyl; and
- ② A is lower ( $C_1-C_4$ ) alkylene and  
B is amino;

5)  $R^3$  is ( $C_1-C_9$ ) alkyl,

$R^4$  is ( $C_3-C_9$ ) alkyl,

① when  $R^5$  is hydroxy-substituted ( $C_1-C_6$ ) alkyl,

$R^9$  is -X-Y,

wherein X is phenylene and

Y is -A-B,

wherein

A is lower ( $C_1-C_4$ ) alkylene-imino and

B is lower ( $C_1-C_4$ ) acylimidoyl; or

② when  $R^5$  is lower ( $C_1-C_4$ ) alkyl,

$R^9$  is -X-Y,

wherein X is ( $C_1-C_6$ ) alkylene and

Y is -A-B,

wherein A is imino and

B is amidino;

6)  $R^3$  is phenyl-lower ( $C_1-C_4$ ) alkyl,

$R^4$  is ( $C_3-C_9$ ) alkyl,

①  $R^5$  is lower ( $C_1-C_4$ ) alkyl,

$R^9$  is -X-Y, and Y is -A-B,

wherein X is phenylene and

A is lower ( $C_1-C_4$ ) alkylene and

B is amino; or

②  $R^5$  is di-lower ( $C_1-C_4$ ) alkylamino-substituted lower ( $C_1-C_4$ ) alkyl, hydroxy-substituted ( $C_1-C_6$ ) alkyl or lower ( $C_1-C_4$ ) alkyl,

$R^9$  is -X-Y, and Y is -A-B,

wherein X is ( $C_1-C_6$ ) alkylene and

A is imino and

B is lower ( $C_1-C_4$ ) acylimidoyl;

C1  
COND.  
7)  $R^3$  is nitrogen-containing heterocyclic radical-substituted lower ( $C_1-C_4$ ) alkyl, carboxy-substituted phenyl-lower ( $C_1-C_4$ ) alkyl, amino-substituted lower ( $C_1-C_4$ ) alkyl-substituted phenyl-lower ( $C_1-C_4$ ) alkyl, hydroxy-substituted phenyl-lower ( $C_1-C_4$ ) alkyl, lower ( $C_1-C_4$ ) alkoxy-carbonyl-substituted phenyl-lower ( $C_1-C_4$ ) alkyl, oxygen-containing ( $C_1-C_8$ ) straight chain or branched alkyl, or hydroxy-substituted ( $C_1-C_8$ ) alkyl;

$R^4$  is ( $C_3-C_9$ ) alkyl,

$R^5$  is lower ( $C_1-C_4$ ) alkyl,

$R^9$  is -X-Y, and Y is -B,

wherein X is ( $C_1-C_6$ ) alkylene, and

B is amino;

8) ①  $R^3$  is ( $C_1-C_9$ ) alkyl, and

$R^4$  is hydroxy-substituted ( $C_3-C_8$ ) alkyl, or

②  $R^3$  is nitrogen-containing heterocyclic radical-substituted lower ( $C_1-C_4$ ) alkyl, and

$R^4$  is ( $C_3-C_9$ ) alkyl,

$R^5$  is lower ( $C_1-C_4$ ) alkyl,

$R^9$  is -X-Y, and Y is -B,

wherein X is ( $C_1-C_6$ ) alkylene and

B is amino;

9)  $R^3$  is amino-substituted lower ( $C_1-C_4$ ) alkyl-substituted phenyl-lower ( $C_1-C_4$ ) alkyl, lower ( $C_1-C_4$ ) acylimido-ylimino-substituted ( $C_1-C_6$ ) alkyl, lower ( $C_1-C_4$ ) alkylimino-substituted ( $C_1-C_6$ ) alkyl, nitrogen-containing heterocyclic radical-substituted lower ( $C_1-C_4$ ) alkylimino-substituted ( $C_1-C_6$ ) alkyl, or isopropyliminomethylbenzyl,

$R^4$  is ( $C_3-C_9$ ) alkyl,

$R^5$  is lower ( $C_1-C_4$ ) alkyl,

$R^9$  is hydrogen;

C1  
004. 10)  $R^3$  is aryloxy-substituted lower ( $C_1-C_4$ ) alkyl, ( $C_3-C_7$ ) cycloalkyl-substituted lower ( $C_1-C_4$ ) alkyl, arylsulfonamido-substituted lower ( $C_1-C_4$ ) alkyl-substituted phenyl-lower ( $C_1-C_4$ ) alkyl, alkylsulfonamido-substituted lower ( $C_1-C_4$ ) alkyl-substituted phenyl-lower ( $C_1-C_4$ ) alkyl, or amino-substituted lower ( $C_1-C_4$ ) alkyl-substituted phenyl-lower ( $C_1-C_4$ ) alkyl,

$R^4$  is ( $C_3-C_9$ ) alkyl,

$R^5$  is lower ( $C_1-C_4$ ) alkyl,

$R^9$  is -X-Y, and Y is -A-B,

wherein X is ( $C_1-C_6$ ) alkylene,

A is imino and

B is amidino;

11)  $R^3$  is phenyl-lower ( $C_1-C_4$ ) alkyl,

$R^5$  is lower ( $C_1-C_4$ ) alkyl,

(i) when  $R^4$  is ( $C_3-C_9$ ) alkyl,

$R^9$  is -X-Y, and Y is -A-B,

wherein X is (C<sub>1</sub>-C<sub>6</sub>) alkylene,

A is imino and

B is amidino;

② when R<sup>4</sup> is unsubstituted or optionally substituted aryl-lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,

R<sup>9</sup> is -X-Y, and Y is -A-B,

wherein X is (C<sub>1</sub>-C<sub>6</sub>) alkylene,

A is imino and

B is amidino; or

C1  
COO4.  
③ when R<sup>4</sup> is (C<sub>3</sub>-C<sub>9</sub>) alkyl,

R<sup>9</sup> is -X-Y, and Y is -B,

wherein X is (C<sub>1</sub>-C<sub>6</sub>) alkylene, and

B is amino;

12) R<sup>3</sup> is amino-substituted lower (C<sub>1</sub>-C<sub>4</sub>) alkyl-substituted phenyl-lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,

R<sup>4</sup> is (C<sub>3</sub>-C<sub>9</sub>) alkyl,

R<sup>5</sup> is lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,

R<sup>9</sup> is -X-Y, and Y is -B,

wherein X is (C<sub>1</sub>-C<sub>6</sub>) alkylene, and

B is amino;

13) R<sup>3</sup> is amino-substituted phenyl-lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,

R<sup>4</sup> is (C<sub>3</sub>-C<sub>9</sub>) alkyl,

R<sup>5</sup> is di-lower (C<sub>1</sub>-C<sub>4</sub>) alkylamino-substituted lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,

R<sup>9</sup> is -X-Y, and Y is -A-B,

wherein X is (C<sub>1</sub>-C<sub>6</sub>) alkylene, and

A is imino and

B is lower (C<sub>1</sub>-C<sub>4</sub>) acylimidoyl;

14)  $R^3$  is guanidino-substituted phenyl-lower ( $C_1-C_4$ ) alkyl,  
guanidino-substituted lower ( $C_1-C_4$ ) alkyl-substituted  
phenyl-lower ( $C_1-C_4$ ) alkyl, or amino-substituted lower  
( $C_1-C_4$ ) alkyl-substituted phenyl-lower ( $C_1-C_4$ ) alkyl,

$R^4$  is ( $C_3-C_9$ ) alkyl,

$R^5$  is lower ( $C_1-C_4$ ) alkyl,

$R^9$  is -X-Y, and Y is -B,  
wherein X is ( $C_1-C_6$ ) alkylene, and  
B is amino; or

15)  $R^3$  is amino-substituted lower ( $C_1-C_4$ ) alkyl-substituted  
phenyl-lower ( $C_1-C_4$ ) alkyl,

$R^4$  is ( $C_3-C_9$ ) alkyl,

$R^5$  is lower ( $C_1-C_4$ ) alkyl,

$R^9$  is -X-Y, and Y is -A-B,  
wherein X is phenylene,

A is lower ( $C_1-C_4$ ) alkylene, and  
B is amino;

or a pharmaceutically acceptable salt or solvate thereof.

17. (Amended) The compound according to claim 16 wherein

$R^1$ ,  $R^2$ ,  $R^6$ ,  $R^7$  and  $R^8$  are each hydrogen,

1)  $R^3$  is methyl,

$R^4$  is isobutyl,

$R^5$  is methyl,

$R^9$  is -X-Y and Y is -A-B or -B

wherein X, Y, A and B are selected from the following

combinations:

① X is methylene or ethylene, Y is -A-B, A is imino and B is amidino;

② X is ethylene or trimethylene, Y is -B and B is amino;

③ X is phenylene, Y is -A-B, A is methyleneimino and B is acetimidoyl;

④ X is trimethylene, Y is -A-B, A is imino and B is selected from the group consisting of acetimidoyl, propionimidoyl and benzimidoyl;

⑤ X is phenylene, Y is -A-B, A is methylene and B is amino; and

⑥ X is phenylene, Y is -A-B, A is imino and B is selected from the group consisting of tetra-ethyl bis(phosphono)methyl, tetra-methyl bis(phosphono)methyl, tri-ethyl bis(phosphono)methyl and tri-methyl bis(phosphono)methyl;

2)  $R^3$  is methyl,

$R^4$  is isobutyl,

$R^5$  is 2-hydroxy-1-methylethyl or piperidyl,

$R^9$  is -X-Y, and Y is -A-B,

wherein X is trimethylene,

A is imino and

B is acetimidoyl;



3)  $R^3$  is methyl,  
 $R^4$  is isobutyl,  
①  $R^5$  is cyclopropyl,  
 $R^9$  is -X-Y, and Y is -B,  
wherein X is ethylene and  
B is amino;

②  $R^5$  is morpholino,  
 $R^9$  is -X-Y, and Y is -A-B,  
wherein X is phenylene,  
A is methyleneimino and  
B is acetimidoyl;

C1  
CDD4.  
4)  $R^3$  and  $R^4$  are each isobutyl,  
 $R^5$  is 2-carboxyethyl, 2-dimethylaminoethyl or  
2-hydroxyethyl,  
 $R^9$  is -X-Y,  
wherein X is phenylene and  
Y is -A-B,

wherein A and B are selected from the following  
combinations:

- ① A is methyleneimino and  
B is acetimidoyl; and
- ② A is methylene and  
B is amino;

5)  $R^3$  and  $R^4$  are each isobutyl,  
① when  $R^5$  is 2-hydroxy-1,1-dimethylethyl,  
 $R^9$  is -X-Y,  
wherein X is phenylene and  
Y is -A-B,

wherein A is methyleneimino and  
B is acetimidoyl;

- ② when  $R^5$  is methyl,  
 $R^9$  is -X-Y,  
wherein X is methylene or ethylene and  
Y is -A-B,  
wherein A is imino and  
B is amidino;

- 6)  $R^3$  is phenylpropyl,  
 $R^4$  is isobutyl,

- ①  $R^5$  is methyl,  
 $R^9$  is -X-Y, and Y is -A-B,  
wherein X is phenylene and  
A is methylene and  
B is amino; or

- ②  $R^5$  is 2-dimethylaminoethyl, 2-hydroxyethyl or methyl,  
 $R^9$  is -X-Y, and Y is -A-B,  
wherein X is trimethylene,  
A is imino and  
B is acetimidoyl;

- 7)  $R^3$  is morpholinopropyl, carboxyphenylpropyl,  
aminomethylphenylpropyl, hydroxyphenylpropyl,  
methoxycarbonylphenylpropyl, piperidinypropyl,  
iso-butyloxyethyl, butoxyethyl, ethoxyethoxyethyl or  
hydroxyoctyl,

- $R^4$  is isobutyl,  
 $R^5$  is methyl,

- $R^9$  is -X-Y, and Y is -B,  
wherein X is trimethylene and  
B is amino;

- 8) ①  $R^3$  is isobutyl, and  
 $R^4$  is hydroxyoctyl, or  
②  $R^3$  is (3,4,4-trimethyl-2,5-dioxo-imidazolidin-1-yl)-  
propyl, and  
 $R^4$  is isopropyl,  
 $R^5$  is methyl,  
 $R^9$  is -X-Y, and Y is -B,  
wherein X is trimethylene and  
B is amino;

- 9)  $R^3$  is aminomethylphenylpropyl, aminomethylbenzyl,  
acetimidoyliminopentyl, isopropyliminopentyl,  
(pyridin-4-ylmethylimino)pentyl or  
isopropyliminomethylbenzyl,  
 $R^4$  is isobutyl,  
 $R^5$  is methyl, and  
 $R^9$  is hydrogen;

- 10)  $R^3$  is phenoxyethyl, cyclohexylpropyl, toluenesulfonamido-  
methylbenzyl, methanesulfonamidomethylbenzyl or  
phthalimidomethylbenzyl,  
 $R^4$  is isobutyl,  
 $R^5$  is methyl, and  
 $R^9$  is -X-Y, and Y is -A-B,  
wherein X is ethylene,  
A is imino and  
B is amidino;

- 11)  $R^3$  is phenylpropyl,  
 $R^5$  is methyl,  
① when  $R^4$  is isobutyl,  
 $R^9$  is -X-Y, and Y is -A-B,  
wherein X is methylene,  
A is imino and  
B is amidino;

- ② when  $R^4$  is naphthylmethyl,  
 $R^9$  is  $-X-Y$ , and  $Y$  is  $-A-B$ ,  
wherein  $X$  is ethylene,  
 $A$  is imino and  
 $B$  is amidino; or

- ③ when  $R^4$  is isopropyl,  
 $R^9$  is  $-X-Y$ , and  $Y$  is  $-B$ ,  
wherein  $X$  is trimethylene, and  
 $B$  is amino;

C1  
004  
12)  $R^3$  is aminomethylphenylpropyl,

- ①  $R^4$  is isobutyl,  
 $R^5$  is methyl,  
 $R^9$  is  $-X-Y$ , and  $Y$  is  $-B$ ,  
wherein  $X$  is methylene or ethylene, and  
 $B$  is amino;

- ②  $R^4$  is isopropyl,  
 $R^5$  is methyl,  
 $R^9$  is  $-X-Y$ , and  $Y$  is  $-B$ ,  
wherein  $X$  is ethylene, and  
 $B$  is amino;

- 13)  $R^3$  is aminophenylpropyl,  
 $R^4$  is isobutyl,  
 $R^5$  is dimethylaminoethyl,  
 $R^9$  is  $-X-Y$ , and  $Y$  is  $-A-B$ ,  
wherein  $X$  is trimethylene, and  
 $A$  is imino and  
 $B$  is acetimidoyl;

14)  $R^3$  is guanidinophenylpropyl, guanidinomethylphenylpropyl or aminomethylbenzyl,

$R^4$  is isobutyl,

$R^5$  is methyl, and

$R^9$  is -X-Y, and Y is -B,

wherein X is ethylene, and

B is amino; or

C1  
cost  
15)  $R^3$  is aminomethylbenzyl,

$R^4$  is isobutyl,

$R^5$  is methyl, and

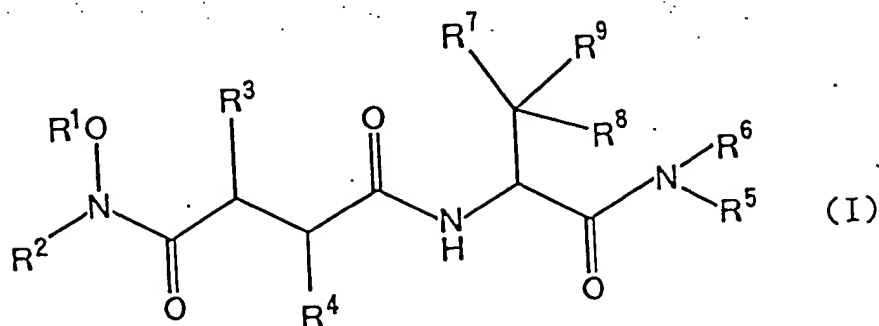
$R^9$  is -X-Y, and Y is -A-B,

wherein X is phenylene,

A is methylene, and

B is amino.

18. (Amended) A compound having the following formula (I):



wherein R<sup>1</sup>, R<sup>2</sup>, R<sup>6</sup>, R<sup>7</sup> and R<sup>8</sup> are each hydrogen,

C1  
COO4  
1) R<sup>3</sup> is methyl,

R<sup>4</sup> is isobutyl,

R<sup>5</sup> is methyl,

R<sup>9</sup> is -X-Y, and Y is -A-B or -B,

wherein X, Y, A and B are selected from the following combinations:

- ① X is (C<sub>1</sub>-C<sub>6</sub>) alkylene, Y is -A-B, A is imino and B is amidino;
- ② X is (C<sub>1</sub>-C<sub>6</sub>) alkylene, Y is -B and B is amino;
- ③ X is phenylene, Y is -A-B, A is methyleneimino and B is acetimidoyl;
- ④ X is trimethylene, Y is -A-B, A is imino and B is selected from the group consisting of lower (C<sub>1</sub>-C<sub>4</sub>) acylimidoyl and benzimidoyl;
- ⑤ X is phenylene, Y is -A-B, A is methylene and B is amino; and
- ⑥ X is phenylene, Y is -A-B, A is imino and B is selected from the group consisting of tetra-lower (C<sub>1</sub>-C<sub>4</sub>) alkyl bis(phosphono)methyl and tri-lower (C<sub>1</sub>-C<sub>4</sub>) alkyl bis(phosphono)methyl;

- 2)  $R^3$  is methyl,  
 $R^4$  is isobutyl,  
 $R^5$  is 2-hydroxy-1-methylethyl or piperidyl,  
 $R^9$  is -X-Y, and Y is -A-B,  
wherein X is trimethylene,  
A is imino and  
B is acetimidoyl;

- 3)  $R^3$  is methyl,  
 $R^4$  is isobutyl,  
①  $R^5$  is cyclopropyl,  
 $R^9$  is -X-Y, and Y is -B,  
wherein X is ethylene and  
B is amino;

C1  
C004. ②  $R^5$  is morpholino,  
 $R^9$  is -X-Y, and Y is -A-B,  
wherein X is phenylene,  
A is methyleneimino and  
B is acetimidoyl;

- 4)  $R^3$  and  $R^4$  are each isobutyl,  
 $R^5$  is 2-carboxyethyl, 2-dimethylaminoethyl or  
2-hydroxyethyl,  
 $R^9$  is -X-Y,  
wherein X is phenylene and  
Y is -A-B,

wherein A and B are selected from the following  
combinations:

- ① A is methyleneimino and  
B is acetimidoyl; and  
② A is methylene and  
B is amino;

$R^3$  and  $R^4$  are each isobutyl,

① when  $R^5$  is 2-hydroxy-1,1-dimethylethyl,

$R^9$  is -X-Y,

wherein X is phenylene and

Y is -A-B,

wherein A is methyleneimino and

B is acetimidoyl;

② when  $R^5$  is methyl,

$R^9$  is -X-Y,

wherein X is ( $C_1-C_6$ ) alkylene and

Y is -A-B,

wherein A is imino and

B is amidino;

C1  
COO4  
6)  $R^3$  is phenylpropyl,

$R^4$  is isobutyl,

①  $R^5$  is methyl,

$R^9$  is -X-Y, and Y is -A-B,

wherein X is phenylene and

A is methylene and

B is amino; or

②  $R^5$  is 2-dimethylaminoethyl, 2-hydroxyethyl or methyl,

$R^9$  is -X-Y, and Y is -A-B,

wherein X is trimethylene,

A is imino and

B is acetimidoyl;

7)  $R^3$  is nitrogen-containing heterocyclic radical-substituted propyl, carboxyphenylpropyl, aminomethylphenylpropyl, hydroxyphenylpropyl, methoxycarbonylphenylpropyl, oxygen-containing ( $C_1-C_8$ ) straight chain or branched alkyl or hydroxyoctyl,

$R^4$  is isobutyl,

$R^5$  is methyl,

$R^9$  is -X-Y, and Y is -B,



wherein X is trimethylene and  
B is amino;

- 8) ①  $R^3$  is isobutyl, and  
 $R^4$  is hydroxyoctyl, or  
②  $R^3$  is (3,4,4-trimethyl-2,5-dioxo-imidazolidin-1-yl)-  
propyl, and  
 $R^4$  is isopropyl,  
 $R^5$  is methyl,  
 $R^9$  is -X-Y, and Y is -B,  
wherein X is trimethylene and  
B is amino;

- C1  
cont  
9)  $R^3$  is amino-substituted methyl-substituted phenyl-lower  
( $C_1-C_4$ ) alkyl, acetimidoyliminopentyl,  
isopropyliminopentyl, (pyridin-4-ylmethylimino)pentyl  
or isopropyliminomethylbenzyl,  
 $R^4$  is isobutyl,  
 $R^5$  is methyl, and  
 $R^9$  is hydrogen;

- 10)  $R^3$  is phenoxyethyl, cyclohexylpropyl, toluenesulfonamido-  
methylbenzyl, methanesulfonamidomethylbenzyl or  
phthalimidomethylbenzyl,  
 $R^4$  is isobutyl,  
 $R^5$  is methyl, and  
 $R^9$  is -X-Y, and Y is -A-B,  
wherein X is ethylene,  
A is imino and  
B is amidino;

11)  $R^3$  is phenylpropyl,

$R^5$  is methyl,

① when  $R^4$  is isobutyl,

$R^9$  is -X-Y, and Y is -A-B,

wherein X is methylene,

A is imino and

B is amidino;

② when  $R^4$  is naphthylmethyl,

$R^9$  is -X-Y, and Y is -A-B,

wherein X is ethylene,

A is imino and

B is amidino; or

③ when  $R^4$  is isopropyl,

$R^9$  is -X-Y, and Y is -B,

wherein X is trimethylene, and

B is amino;

12)  $R^3$  is aminomethylphenylpropyl,

$R^4$  is ( $C_3-C_9$ ) alkyl,

$R^5$  is methyl,

$R^9$  is -X-Y, and Y is -B,

wherein X is ( $C_1-C_6$ ) alkylene, and

B is amino;

13)  $R^3$  is aminophenylpropyl,

$R^4$  is isobutyl,

$R^5$  is dimethylaminoethyl,

$R^9$  is -X-Y, and Y is -A-B,

wherein X is trimethylene, and

A is imino and

B is acetimidoyl;

14)  $R^3$  is guanidinophenylpropyl, guanidinomethylphenylpropyl  
or aminomethylbenzyl,  
 $R^4$  is isobutyl,  
 $R^5$  is methyl, and  
 $R^9$  is -X-Y, and Y is -B,  
wherein X is ethylene, and  
B is amino; or

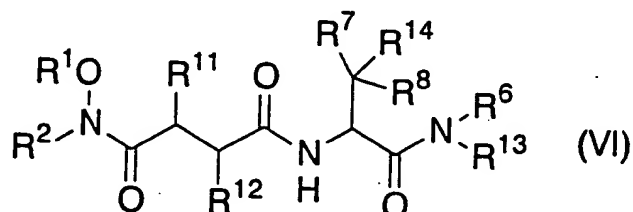
C1  
COS4  
15)  $R^3$  is aminomethylbenzyl,  
 $R^4$  is isobutyl,  
 $R^5$  is methyl, and  
 $R^9$  is -X-Y, and Y is -A-B,  
wherein X is phenylene,  
A is methylene, and  
B is amino;

or a pharmaceutically acceptable salt or solvate thereof.

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Kindly add the following new claims.

19. A compound having the following formula (VI):



wherein R<sup>1</sup> is unsubstituted or optionally substituted aralkyl, and R<sup>2</sup>, R<sup>6</sup>, R<sup>7</sup> and R<sup>8</sup> are each hydrogen,

1) R<sup>11</sup> is (C<sub>1</sub>-C<sub>9</sub>) alkyl,

R<sup>12</sup> is (C<sub>3</sub>-C<sub>9</sub>) alkyl,

R<sup>13</sup> is (C<sub>1</sub>-C<sub>4</sub>) alkyl,

R<sup>14</sup> is -X-Y, and Y is -A-B, -A-E or -E,

wherein X, Y, A, B and E are selected from the following combinations:

- ① X is (C<sub>1</sub>-C<sub>6</sub>) alkylene, Y is -A-E, A is imino and E is protected amidino;
- ② X is (C<sub>1</sub>-C<sub>6</sub>) alkylene, Y is -E and E is protected amino;
- ③ X is phenylene, Y is -A-B, A is lower (C<sub>1</sub>-C<sub>4</sub>) alkylene-imino and B is lower (C<sub>1</sub>-C<sub>4</sub>) acylimidoyl;
- ④ X is (C<sub>1</sub>-C<sub>6</sub>) alkylene, Y is -A-B, A is imino and B is selected from the group consisting of lower (C<sub>1</sub>-C<sub>4</sub>) acylimidoyl and benzimidoyl;
- ⑤ X is phenylene, Y is -E, E is cyano; and
- ⑥ X is phenylene, Y is -A-B, A is imino and B is tetra-lower (C<sub>1</sub>-C<sub>4</sub>) alkyl bis(phosphono)methyl;

2) R<sup>11</sup> is (C<sub>1</sub>-C<sub>9</sub>) alkyl,

R<sup>12</sup> is (C<sub>3</sub>-C<sub>9</sub>) alkyl,  
R<sup>13</sup> is hydroxy-substituted (C<sub>1</sub>-C<sub>6</sub>) alkyl or a nitrogen-  
containing heterocyclic radical,  
R<sup>14</sup> is -X-Y, and Y is -A-B,  
wherein X is (C<sub>1</sub>-C<sub>6</sub>) alkylene,  
A is imino and  
B is lower (C<sub>1</sub>-C<sub>4</sub>) acylimidoyl;

- C2  
C204
- 3) R<sup>11</sup> is (C<sub>1</sub>-C<sub>9</sub>) alkyl,  
R<sup>12</sup> is (C<sub>3</sub>-C<sub>9</sub>) alkyl,  
① R<sup>13</sup> is (C<sub>3</sub>-C<sub>7</sub>) cycloalkyl,  
R<sup>14</sup> is -X-Y, and Y is -E,  
wherein X is (C<sub>1</sub>-C<sub>6</sub>) alkylene and  
E is protected amino; or  
② R<sup>13</sup> is a nitrogen-containing heterocyclic radical,  
R<sup>14</sup> is -X-Y, and Y is -A-B,  
wherein X is phenylene,  
A is lower (C<sub>1</sub>-C<sub>4</sub>) alkylene-imino and  
B is lower (C<sub>1</sub>-C<sub>4</sub>) acylimidoyl;

- 4) R<sup>11</sup> is (C<sub>1</sub>-C<sub>9</sub>) alkyl,  
R<sup>12</sup> is (C<sub>3</sub>-C<sub>9</sub>) alkyl,  
R<sup>13</sup> is protected carboxy-substituted lower (C<sub>1</sub>-C<sub>4</sub>) alkyl, di-lower  
(C<sub>1</sub>-C<sub>4</sub>) alkylamino-substituted lower (C<sub>1</sub>-C<sub>4</sub>) alkyl or  
protected hydroxy-substituted lower (C<sub>1</sub>-C<sub>4</sub>) alkyl, and  
R<sup>14</sup> is -X-Y,  
wherein X is phenylene and  
Y is -A-B or -A-E,  
wherein A, B and E are selected from the following  
combinations:  
① Y is -A-B, A is lower (C<sub>1</sub>-C<sub>4</sub>) alkylene-imino and  
B is lower (C<sub>1</sub>-C<sub>4</sub>) acylimidoyl; and

② Y is -A-E, A is lower (C<sub>1</sub>-C<sub>4</sub>) alkylene and  
E is cyano;

5) R<sup>11</sup> is (C<sub>1</sub>-C<sub>9</sub>) alkyl,

R<sup>12</sup> is (C<sub>3</sub>-C<sub>9</sub>) alkyl,

① when R<sup>13</sup> is hydroxy-substituted (C<sub>1</sub>-C<sub>6</sub>) alkyl,

R<sup>14</sup> is -X-Y,

wherein X is phenylene and

Y is -A-B,

wherein

A is lower (C<sub>1</sub>-C<sub>4</sub>) alkylene-imino and

B is lower (C<sub>1</sub>-C<sub>4</sub>) acylimidoyl; or

② when R<sup>13</sup> is lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,

R<sup>14</sup> is -X-Y,

wherein X is (C<sub>1</sub>-C<sub>6</sub>) alkylene and

Y is -A-E,

wherein A is imino and

E is protected amidino;

6) R<sup>11</sup> is phenyl-lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,

R<sup>12</sup> is (C<sub>3</sub>-C<sub>9</sub>) alkyl,

① R<sup>13</sup> is lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,

R<sup>14</sup> is -X-Y, and Y is -E,

wherein X is phenylene and

E is cyano; or

② R<sup>13</sup> is di-lower (C<sub>1</sub>-C<sub>4</sub>) alkylamino-substituted lower (C<sub>1</sub>-C<sub>4</sub>)  
alkyl, protected hydroxy-substituted lower (C<sub>1</sub>-C<sub>4</sub>) alkyl  
or lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,

R<sup>14</sup> is -X-Y, and Y is -A-B,

wherein X is (C<sub>1</sub>-C<sub>6</sub>) alkylene and

A is imino and

B is lower (C<sub>1</sub>-C<sub>4</sub>) acylimidoyl;

- 7)  $R^{11}$  is nitrogen-containing heterocyclic radical-substituted lower ( $C_1-C_4$ ) alkyl, protected carboxy-substituted phenyl-lower ( $C_1-C_4$ ) alkyl, protected amino-substituted phenyl-lower ( $C_1-C_4$ ) alkyl, protected hydroxy-substituted phenyl-lower ( $C_1-C_4$ ) alkyl, lower ( $C_1-C_4$ ) alkoxycarbonyl-substituted phenyl-lower ( $C_1-C_4$ ) alkyl, oxygen-containing ( $C_1-C_8$ ) straight chain or branched alkyl, or hydroxy-substituted ( $C_1-C_8$ ) alkyl;

$R^{12}$  is ( $C_3-C_9$ ) alkyl,

$R^{13}$  is lower ( $C_1-C_4$ ) alkyl,

$R^{14}$  is  $-X-Y$ , and  $Y$  is  $-E$ ,

wherein  $X$  is ( $C_1-C_6$ ) alkylene and

$E$  is protected amino;

- 8) ①  $R^{11}$  is ( $C_1-C_9$ ) alkyl, and

$R^{12}$  is protected hydroxy-substituted ( $C_1-C_8$ ) alkyl, or

- ②  $R^{11}$  is nitrogen-containing heterocyclic radical-substituted lower ( $C_1-C_4$ ) alkyl, and

$R^{12}$  is ( $C_3-C_9$ ) alkyl,

$R^{13}$  is lower ( $C_1-C_4$ ) alkyl,

$R^{14}$  is  $-X-Y$ , and  $Y$  is  $-E$ ,

wherein  $X$  is ( $C_1-C_6$ ) alkylene and

$E$  is protected amino;

- 9)  $R^{11}$  is cyano-substituted phenyl-lower ( $C_1-C_4$ ) alkyl, lower ( $C_1-C_4$ ) acylimidoylimino-substituted ( $C_1-C_6$ ) alkyl, lower ( $C_1-C_4$ ) alkylimino-substituted ( $C_1-C_6$ ) alkyl, nitrogen-containing heterocyclic radical-substituted lower ( $C_1-C_4$ ) alkylimino-substituted ( $C_1-C_6$ ) alkyl, or isopropyliminomethylbenzyl,
- $R^{12}$  is ( $C_3-C_9$ ) alkyl,
- $R^{13}$  is lower ( $C_1-C_4$ ) alkyl,
- $R^{14}$  is hydrogen;

10) R<sup>11</sup> is aryloxy-substituted lower (C<sub>1</sub>-C<sub>4</sub>) alkyl, (C<sub>3</sub>-C<sub>7</sub>) cycloalkyl-substituted lower (C<sub>1</sub>-C<sub>4</sub>) alkyl, arylsulfonamido-substituted lower (C<sub>1</sub>-C<sub>4</sub>) alkyl-substituted phenyl-lower (C<sub>1</sub>-C<sub>4</sub>) alkyl, arylsulfonamido-substituted lower (C<sub>1</sub>-C<sub>4</sub>) alkyl-substituted phenyl-lower (C<sub>1</sub>-C<sub>4</sub>) alkyl, or protected amino-substituted lower (C<sub>1</sub>-C<sub>4</sub>) alkyl-substituted phenyl-lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,

R<sup>12</sup> is (C<sub>3</sub>-C<sub>9</sub>) alkyl,

R<sup>13</sup> is lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,

R<sup>14</sup> is -X-Y, and Y is -A-E,

wherein X is (C<sub>1</sub>-C<sub>6</sub>) alkylene,

A is imino and

E is protected amidino;

11) R<sup>11</sup> is phenyl-lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,

R<sup>13</sup> is lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,

① when R<sup>12</sup> is (C<sub>3</sub>-C<sub>9</sub>) alkyl,

R<sup>14</sup> is -X-Y, and Y is -A-E,

wherein X is (C<sub>1</sub>-C<sub>6</sub>) alkylene,

A is imino and

E is protected amidino;

② when R<sup>12</sup> is unsubstituted or optionally substituted aryl-lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,

R<sup>14</sup> is -X-Y, and Y is -A-E,

wherein X is (C<sub>1</sub>-C<sub>6</sub>) alkylene,

A is imino and

E is protected amidino; or

③ when R<sup>12</sup> is (C<sub>3</sub>-C<sub>9</sub>) alkyl,

R<sup>14</sup> is -X-Y, and Y is -E,

wherein X is (C<sub>1</sub>-C<sub>6</sub>) alkylene, and

E is protected amino;



12) R<sup>11</sup> is protected amino-substituted lower (C<sub>1</sub>-C<sub>4</sub>) alkyl-substituted phenyl-lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,  
R<sup>12</sup> is (C<sub>3</sub>-C<sub>9</sub>) alkyl,  
R<sup>13</sup> is lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,  
R<sup>14</sup> is -X-Y, and Y is -E,  
wherein X is (C<sub>1</sub>-C<sub>6</sub>) alkylene, and  
E is protected amino;

C2  
const.  
13) R<sup>11</sup> is protected amino-substituted phenyl-lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,  
R<sup>12</sup> is (C<sub>3</sub>-C<sub>9</sub>) alkyl,  
R<sup>13</sup> is di-lower (C<sub>1</sub>-C<sub>4</sub>) alkylamino-substituted lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,  
R<sup>14</sup> is -X-Y, and Y is -A-B,  
wherein X is (C<sub>1</sub>-C<sub>6</sub>) alkylene, and  
A is imino and  
B is lower (C<sub>1</sub>-C<sub>4</sub>) acylimidoyl;

14) R<sup>11</sup> is protected guanidino-substituted phenyl-lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,  
protected guanidino-substituted lower (C<sub>1</sub>-C<sub>4</sub>) alkyl-substituted phenyl-lower (C<sub>1</sub>-C<sub>4</sub>) alkyl, or protected amino-substituted lower (C<sub>1</sub>-C<sub>4</sub>) alkyl-substituted phenyl-lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,  
R<sup>12</sup> is (C<sub>3</sub>-C<sub>9</sub>) alkyl,  
R<sup>13</sup> is lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,  
R<sup>14</sup> is -X-Y, and Y is -E,  
wherein X is (C<sub>1</sub>-C<sub>6</sub>) alkylene, and  
E is protected amino; or

15) R<sup>11</sup> is protected amino-substituted lower (C<sub>1</sub>-C<sub>4</sub>) alkyl-substituted phenyl-lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,  
R<sup>12</sup> is (C<sub>3</sub>-C<sub>9</sub>) alkyl,  
R<sup>13</sup> is lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,  
R<sup>14</sup> is -X-Y, and Y is -E,  
wherein X is phenylene,

E is cyano;

or a salt thereof.

20. The compound according to claim 19, wherein R<sup>1</sup> is benzyl, and R<sup>2</sup>, R<sup>6</sup>, R<sup>7</sup> and R<sup>8</sup> are each hydrogen,

- 1) R<sup>11</sup> is methyl,  
R<sup>12</sup> is isobutyl,  
R<sup>13</sup> is methyl,  
R<sup>14</sup> is -X-Y and Y is -A-B, -A-E, or -E  
wherein X, Y, A, B and E are selected from the following combinations:
- ① X is methylene or ethylene, Y is -A-E, A is imino and E is protected amidino;
- ② X is ethylene or trimethylene, Y is -E and E is protected amino;
- ③ X is phenylene, Y is -A-B, A is methyleneimino and B is acetimidoyl;
- ④ X is trimethylene, Y is -A-B, A is imino and B is selected from the group consisting of acetimidoyl, propionimidoyl and benzimidoyl;
- ⑤ X is phenylene, Y is -E, E is cyano; and
- ⑥ X is phenylene, Y is -A-B, A is imino and B is tetra-ethyl bis(phosphono)methyl, or tetra-methyl bis(phosphono)methyl;
- 2) R<sup>11</sup> is methyl,  
R<sup>12</sup> is isobutyl,  
R<sup>13</sup> is 2-hydroxy-1-methylethyl or piperidyl,  
R<sup>14</sup> is -X-Y, and Y is -A-B,  
wherein X is trimethylene,

A is imino and  
B is acetimidoyl;

- 3)  $R^{11}$  is methyl,  
 $R^{12}$  is isobutyl,  
①  $R^{13}$  is cyclopropyl,  
 $R^{14}$  is -X-Y, and Y is -E,  
wherein X is ethylene and  
E is protected amino; or  
②  $R^{13}$  is morpholino,  
 $R^{14}$  is -X-Y, and Y is -A-B,  
wherein X is phenylene,  
A is methyleneimino and  
B is acetimidoyl;
- C9  
COU4.
- 4)  $R^{11}$  and  $R^{12}$  are each isobutyl,  
 $R^{13}$  is protected 2-carboxyethyl, 2-dimethylaminoethyl or protected  
2-hydroxyethyl,  
 $R^{14}$  is -X-Y,  
wherein X is phenylene and  
Y is -A-B or -E,  
wherein A, B and E are selected from the following  
combinations:  
① Y is -A-B, A is methyleneimino, and  
B is acetimidoyl; and  
② Y is -E, and  
E is cyano;
- 5)  $R^{11}$  and  $R^{12}$  are each isobutyl,  
① when  $R^{13}$  is 2-hydroxy-1,1-dimethylethyl,  
 $R^{14}$  is -X-Y,  
wherein X is phenylene and

Y is -A-B,  
wherein A is methyleneimino and  
B is acetimidoyl;

- ② when R<sup>13</sup> is methyl,  
R<sup>14</sup> is -X-Y,  
wherein X is methylene or ethylene and  
Y is -A-E,  
wherein A is imino and  
E is protected amidino;

- C2  
CDW4
- 6) R<sup>11</sup> is phenylpropyl,  
R<sup>12</sup> is isobutyl,  
① R<sup>13</sup> is methyl,  
R<sup>14</sup> is -X-Y, and Y is -E,  
wherein X is phenylene and  
E is cyano; or  
② R<sup>13</sup> is 2-dimethylaminoethyl, protected 2-hydroxyethyl or methyl,  
R<sup>14</sup> is -X-Y, and Y is -A-B,  
wherein X is trimethylene,  
A is imino and  
B is acetimidoyl;

- 7) R<sup>11</sup> is morpholinopropyl, protected carboxyphenylpropyl, protected  
aminomethylphenylpropyl, protected hydroxyphenylpropyl,  
methoxycarbonylphenylpropyl, piperidinylpropyl,  
iso-butyloxyethyl, butoxyethyl, ethoxyethoxyethyl or  
protected hydroxyoctyl,  
R<sup>12</sup> is isobutyl,  
R<sup>13</sup> is methyl,  
R<sup>14</sup> is -X-Y, and Y is -E,  
wherein X is trimethylene and

E is protected amino;

- 8) ① R<sup>11</sup> is isobutyl, and  
R<sup>12</sup> is protected hydroxyoctyl, or  
② R<sup>11</sup> is (3,4,4-trimethyl-2,5-dioxo-imidazolidin-1-yl)-  
propyl, and  
R<sup>12</sup> is isopropyl,  
R<sup>13</sup> is methyl,  
R<sup>14</sup> is -X-Y, and Y is -E,  
wherein X is trimethylene and  
E is protected amino;
- 9) R<sup>11</sup> is protected aminomethylphenylpropyl, protected  
aminomethylbenzyl or protected aminopentyl,  
R<sup>12</sup> is isobutyl,  
R<sup>13</sup> is methyl, and  
R<sup>14</sup> is hydrogen;
- 10) R<sup>11</sup> is phenoxyethyl, cyclohexylpropyl, toluenesulfonamido-  
methylbenzyl, methanesulfonamidomethylbenzyl,  
phthalimidomethylbenzyl, cyano-phenylpropyl or cyano-benzyl,  
R<sup>12</sup> is isobutyl,  
R<sup>13</sup> is methyl, and  
R<sup>14</sup> is -X-Y, and Y is -A-E,  
wherein X is ethylene,  
A is imino and  
E is protected amidino;
- 11) R<sup>11</sup> is phenylpropyl,  
R<sup>13</sup> is methyl,  
① when R<sup>12</sup> is isobutyl,  
R<sup>14</sup> is -X-Y, and Y is -A-E,

wherein X is methylene,  
A is imino and  
E is protected amidino;

- ② when  $R^{12}$  is naphthylmethyl,  
 $R^{14}$  is -X-Y, and Y is -A-E,  
wherein X is ethylene,  
A is imino and  
E is protected amidino; or

- ③ when  $R^{12}$  is isopropyl,  
 $R^{14}$  is -X-Y, and Y is -E,  
wherein X is trimethylene, and  
E is protected amino;

C2  
cont. 12)  $R^{11}$  is protected aminomethylphenylpropyl,

- ①  $R^{12}$  is isobutyl,  
 $R^{13}$  is methyl,  
 $R^{14}$  is -X-Y, and Y is -E,  
wherein X is methylene or ethylene, and  
E is protected amino; or

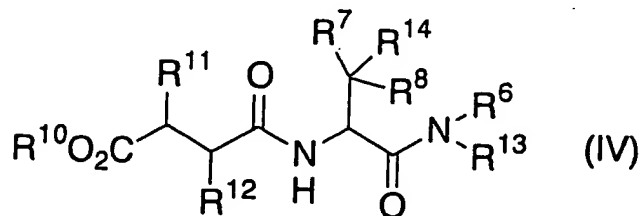
- ②  $R^{12}$  is isopropyl,  
 $R^{13}$  is methyl,  
 $R^{14}$  is -X-Y, and Y is -E,  
wherein X is ethylene, and  
E is protected amino;

- 13)  $R^{11}$  is protected aminophenylpropyl,  
 $R^{12}$  is isobutyl,  
 $R^{13}$  is dimethylaminoethyl,  
 $R^{14}$  is -X-Y, and Y is -A-B,  
wherein X is trimethylene, and  
A is imino and  
B is acetimidoyl;

- 14)  $R^{11}$  is protected guanidinophenylpropyl, protected guanidinomethylphenylpropyl or protected aminomethylbenzyl,  $R^{12}$  is isobutyl,  $R^{13}$  is methyl, and  $R^{14}$  is  $-X-Y$ , and  $Y$  is  $-E$ , wherein  $X$  is ethylene, and  $E$  is protected amino; or

- 15)  $R^{11}$  is protected aminomethylbenzyl,  $R^{12}$  is isobutyl,  $R^{13}$  is methyl, and  $R^{14}$  is  $-X-Y$ , and  $Y$  is  $-E$ , wherein  $X$  is phenylene,  $E$  is cyano.

21. A compound having the following formula (IV):



wherein  $R^{10}$  is  $(C_1-C_6)$  alkyl, and  $R^6$ ,  $R^7$  and  $R^8$  are each hydrogen,

- 1)  $R^{11}$  is  $(C_1-C_9)$  alkyl,  $R^{12}$  is  $(C_3-C_9)$  alkyl,  $R^{13}$  is  $(C_1-C_4)$  alkyl,  $R^{14}$  is  $-X-Y$ , and  $Y$  is  $-A-B$ ,  $-A-E$  or  $-E$ , wherein  $X$ ,  $Y$ ,  $A$ ,  $B$  and  $E$  are selected from the following

combinations:

- ① X is (C<sub>1</sub>-C<sub>6</sub>) alkylene, Y is -A-E, A is imino and E is protected amidino;
- ② X is (C<sub>1</sub>-C<sub>6</sub>) alkylene, Y is -E and E is protected amino;
- ③ X is phenylene, Y is -E, and E is cyano; and
- ④ X is phenylene, Y is -A-B, A is imino and B is tetra-lower (C<sub>1</sub>-C<sub>4</sub>) alkyl bis(phosphono)methyl;

- C<sub>2</sub>  
COO<sub>4</sub>
- 2) R<sup>11</sup> is (C<sub>1</sub>-C<sub>9</sub>) alkyl,  
R<sup>12</sup> is (C<sub>3</sub>-C<sub>9</sub>) alkyl,  
R<sup>13</sup> is hydroxy-substituted (C<sub>1</sub>-C<sub>6</sub>) alkyl or a nitrogen-containing heterocyclic radical,  
R<sup>14</sup> is -X-Y, and Y is -E,  
wherein X is (C<sub>1</sub>-C<sub>6</sub>) alkylene,  
E is protected amino;

- 3) R<sup>11</sup> is (C<sub>1</sub>-C<sub>9</sub>) alkyl,  
R<sup>12</sup> is (C<sub>3</sub>-C<sub>9</sub>) alkyl,  
① R<sup>13</sup> is (C<sub>3</sub>-C<sub>7</sub>) cycloalkyl,  
R<sup>14</sup> is -X-Y, and Y is -E,  
wherein X is (C<sub>1</sub>-C<sub>6</sub>) alkylene and  
E is protected amino; or  
② R<sup>13</sup> is a nitrogen-containing heterocyclic radical,  
R<sup>14</sup> is -X-Y, and Y is -E,  
wherein X is phenylene and E is cyano;

- 4) R<sup>11</sup> is (C<sub>1</sub>-C<sub>9</sub>) alkyl,  
R<sup>12</sup> is (C<sub>3</sub>-C<sub>9</sub>) alkyl,  
R<sup>13</sup> is protected carboxy-substituted lower (C<sub>1</sub>-C<sub>4</sub>) alkyl, di-lower (C<sub>1</sub>-C<sub>4</sub>) alkylamino-substituted lower (C<sub>1</sub>-C<sub>4</sub>) alkyl or protected hydroxy-substituted lower (C<sub>1</sub>-C<sub>4</sub>) alkyl, and  
R<sup>14</sup> is -X-Y,



wherein X is phenylene and  
Y is -E, and E is cyano;

- 5) R<sup>11</sup> is (C<sub>1</sub>-C<sub>9</sub>) alkyl,  
R<sup>12</sup> is (C<sub>3</sub>-C<sub>9</sub>) alkyl,  
① when R<sup>13</sup> is protected hydroxy-substituted lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,  
R<sup>14</sup> is -X-Y,  
wherein X is phenylene and  
Y is -E, and E is cyano;  
② when R<sup>13</sup> is lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,  
R<sup>14</sup> is -X-Y,  
wherein X is (C<sub>1</sub>-C<sub>6</sub>) alkylene and  
Y is -A-E,  
wherein A is imino and  
E is protected amidino;
- 6) R<sup>11</sup> is phenyl-lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,  
R<sup>12</sup> is (C<sub>3</sub>-C<sub>9</sub>) alkyl,  
① R<sup>13</sup> is lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,  
R<sup>14</sup> is -X-Y, and Y is -E,  
wherein X is phenylene and  
E is cyano; or  
② R<sup>13</sup> is di-lower (C<sub>1</sub>-C<sub>4</sub>) alkylamino-substituted lower (C<sub>1</sub>-C<sub>4</sub>)  
alkyl, protected hydroxy-substituted lower (C<sub>1</sub>-C<sub>4</sub>) alkyl or  
lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,  
R<sup>14</sup> is -X-Y, and Y is -E,  
wherein X is (C<sub>1</sub>-C<sub>6</sub>) alkylene, and E is protected amino;
- 7) R<sup>11</sup> is nitrogen-containing heterocyclic radical-substituted lower  
(C<sub>1</sub>-C<sub>4</sub>) alkyl, protected carboxy-substituted phenyl-lower  
(C<sub>1</sub>-C<sub>4</sub>) alkyl, protected amino-substituted phenyl-lower (C<sub>1</sub>-C<sub>4</sub>)  
alkyl, protected hydroxy-substituted phenyl-lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,

lower (C<sub>1</sub>-C<sub>4</sub>) alkoxy-carbonyl-substituted phenyl-lower (C<sub>1</sub>-C<sub>4</sub>) alkyl, oxygen-containing (C<sub>1</sub>-C<sub>8</sub>) straight chain or branched alkyl, or hydroxy-substituted (C<sub>1</sub>-C<sub>8</sub>) alkyl;

R<sup>12</sup> is (C<sub>3</sub>-C<sub>9</sub>) alkyl,

R<sup>13</sup> is lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,

R<sup>14</sup> is -X-Y, and Y is -E,

wherein X is (C<sub>1</sub>-C<sub>6</sub>) alkylene and

E is protected amino;

8) ① R<sup>11</sup> is (C<sub>1</sub>-C<sub>9</sub>) alkyl, and

R<sup>12</sup> is protected hydroxy-substituted (C<sub>1</sub>-C<sub>8</sub>) alkyl, or

② R<sup>11</sup> is nitrogen-containing heterocyclic radical-substituted lower (C<sub>1</sub>-C<sub>4</sub>) alkyl, and

R<sup>12</sup> is (C<sub>3</sub>-C<sub>9</sub>) alkyl,

R<sup>13</sup> is lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,

R<sup>14</sup> is -X-Y, and Y is -E,

wherein X is (C<sub>1</sub>-C<sub>6</sub>) alkylene and

E is protected amino;

9) R<sup>11</sup> is protected amino-substituted lower (C<sub>1</sub>-C<sub>4</sub>) alkyl-substituted phenyl-lower (C<sub>1</sub>-C<sub>4</sub>) alkyl, or protected amino-substituted (C<sub>1</sub>-C<sub>6</sub>) alkyl,

R<sup>12</sup> is (C<sub>3</sub>-C<sub>9</sub>) alkyl,

R<sup>13</sup> is lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,

R<sup>14</sup> is hydrogen;

10) R<sup>11</sup> is aryloxy-substituted lower (C<sub>1</sub>-C<sub>4</sub>) alkyl, (C<sub>3</sub>-C<sub>7</sub>) cycloalkyl-substituted lower (C<sub>1</sub>-C<sub>4</sub>) alkyl, arylsulfonamido-substituted lower (C<sub>1</sub>-C<sub>4</sub>) alkyl-substituted phenyl-lower (C<sub>1</sub>-C<sub>4</sub>) alkyl, alkylsulfonamido-substituted lower (C<sub>1</sub>-C<sub>4</sub>) alkyl-substituted phenyl-lower (C<sub>1</sub>-C<sub>4</sub>) alkyl, or protected amino-substituted lower (C<sub>1</sub>-C<sub>4</sub>) alkyl-substituted phenyl-lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,

$R^{12}$  is (C<sub>3</sub>-C<sub>9</sub>) alkyl,  
 $R^{13}$  is lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,  
 $R^{14}$  is -X-Y, and Y is -A-E,  
wherein X is (C<sub>1</sub>-C<sub>6</sub>) alkylene,  
A is imino and  
E is protected amidino;

- 11)  $R^{11}$  is phenyl-lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,  
 $R^{13}$  is lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,  
① when  $R^{12}$  is (C<sub>3</sub>-C<sub>9</sub>) alkyl,  
 $R^{14}$  is -X-Y, and Y is -A-E,  
wherein X is (C<sub>1</sub>-C<sub>6</sub>) alkylene,  
A is imino and  
E is protected amidino;  
② when  $R^{12}$  is unsubstituted or optionally substituted  
aryl-lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,  
 $R^{14}$  is -X-Y, and Y is -A-E,  
wherein X is (C<sub>1</sub>-C<sub>6</sub>) alkylene,  
A is imino and  
E is protected amidino; or  
③ when  $R^{12}$  is (C<sub>3</sub>-C<sub>9</sub>) alkyl,  
 $R^{14}$  is -X-Y, and Y is -E,  
wherein X is (C<sub>1</sub>-C<sub>6</sub>) alkylene, and  
E is protected amino;

- 12)  $R^{11}$  is protected amino-substituted lower (C<sub>1</sub>-C<sub>4</sub>) alkyl-substituted  
phenyl-lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,  
 $R^{12}$  is (C<sub>3</sub>-C<sub>9</sub>) alkyl,  
 $R^{13}$  is lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,  
 $R^{14}$  is -X-Y, and Y is -E,  
wherein X is (C<sub>1</sub>-C<sub>6</sub>) alkylene, and  
E is protected amino;

13) R<sup>11</sup> is protected amino-substituted phenyl-lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,  
R<sup>12</sup> is (C<sub>3</sub>-C<sub>9</sub>) alkyl,  
R<sup>13</sup> is di-lower (C<sub>1</sub>-C<sub>4</sub>) alkylamino-substituted lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,  
R<sup>14</sup> is -X-Y, and Y is -E,  
wherein X is (C<sub>1</sub>-C<sub>6</sub>) alkylene, and  
E is protected amino;

CA  
COO<sup>4</sup>  
14) R<sup>11</sup> is protected guanidino-substituted phenyl-lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,  
protected guanidino-substituted lower (C<sub>1</sub>-C<sub>4</sub>) alkyl-substituted  
phenyl-lower (C<sub>1</sub>-C<sub>4</sub>) alkyl, or protected amino-substituted lower  
(C<sub>1</sub>-C<sub>4</sub>) alkyl-substituted phenyl-lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,  
R<sup>12</sup> is (C<sub>3</sub>-C<sub>9</sub>) alkyl,  
R<sup>13</sup> is lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,  
R<sup>14</sup> is -X-Y, and Y is -E,  
wherein X is (C<sub>1</sub>-C<sub>6</sub>) alkylene, and  
E is protected amino; or

15) R<sup>11</sup> is protected amino-substituted lower (C<sub>1</sub>-C<sub>4</sub>) alkyl-substituted  
phenyl-lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,  
R<sup>12</sup> is (C<sub>3</sub>-C<sub>9</sub>) alkyl,  
R<sup>13</sup> is lower (C<sub>1</sub>-C<sub>4</sub>) alkyl,  
R<sup>14</sup> is -X-Y, and Y is -E,  
wherein X is phenylene, and  
E is cyano;

or a salt thereof.

22. The compound according to claim 21, wherein R<sup>10</sup> is tert-butyl,  
and R<sup>6</sup>, R<sup>7</sup> and R<sup>8</sup> are each hydrogen,

- 1)  $R^{11}$  is methyl,  
 $R^{12}$  is isobutyl,  
 $R^{13}$  is methyl,  
 $R^{14}$  is -X-Y and Y is -A-B, -A-E or -E  
wherein X, Y, A, B and E are selected from the following combinations:
- ① X is methylene or ethylene, Y is -A-E, A is imino and E is protected amidino;
  - ② X is ethylene or trimethylene, Y is -E and E is protected amino;
  - ③ X is phenylene, Y is -E, and E is cyano; and
  - ④ X is phenylene, Y is -A-B, A is imino, and B is tetra-ethyl bis(phosphono)methyl;

- 2)  $R^{11}$  is methyl,  
 $R^{12}$  is isobutyl,  
 $R^{13}$  is 2-hydroxy-1-methylethyl or piperidyl,  
 $R^{14}$  is -X-Y, and Y is -E,  
wherein X is trimethylene,  
E is protected amino;

- 3)  $R^{11}$  is methyl,  
 $R^{12}$  is isobutyl,
- ①  $R^{13}$  is cyclopropyl,  
 $R^{14}$  is -X-Y, and Y is -E,  
wherein X is ethylene and  
E is protected amino;
  - ②  $R^{13}$  is morpholino,  
 $R^{14}$  is -X-Y, and Y is -E,  
wherein X is phenylene, and  
E is cyano;

- 4)  $R^{11}$  and  $R^{12}$  are each isobutyl,  
 $R^{13}$  is protected 2-carboxyethyl, 2-dimethylaminoethyl or protected  
2-hydroxyethyl,  
 $R^{14}$  is -X-Y,  
wherein X is phenylene and  
Y is -E, and E is cyano;

- 5)  $R^{11}$  and  $R^{12}$  are each isobutyl,  
① when  $R^{13}$  is 2-hydroxy-1,1-dimethylethyl,  
 $R^{14}$  is -X-Y,  
wherein X is phenylene and  
Y is -E, wherein E is cyano;

- ② when  $R^{13}$  is methyl,  
 $R^{14}$  is -X-Y,  
wherein X is methylene or ethylene, and  
Y is -A-E,  
wherein A is imino and  
E is protected amidino;

- 6)  $R^{11}$  is phenylpropyl,  
 $R^{12}$  is isobutyl,  
①  $R^{13}$  is methyl,  
 $R^{14}$  is -X-Y, and Y is -E,  
wherein X is phenylene and  
E is cyano; or  
②  $R^{13}$  is 2-dimethylaminoethyl, protected 2-hydroxyethyl or methyl,  
 $R^{14}$  is -X-Y, and Y is -E,  
wherein X is trimethylene, and  
E is protected amino;

- 7)  $R^{11}$  is morpholinopropyl, protected carboxyphenylpropyl,

protected aminomethylphenylpropyl, protected hydroxyphenylpropyl, methoxycarbonylphenylpropyl, piperidinylpropyl, iso-butyloxyethyl, butoxyethyl, ethoxyethoxyethyl or protected hydroxyoctyl,

R<sup>12</sup> is isobutyl,

R<sup>13</sup> is methyl,

R<sup>14</sup> is -X-Y, and Y is -E,

wherein X is trimethylene and

E is protected amino;

8) ① R<sup>11</sup> is isobutyl, and

R<sup>12</sup> is protected hydroxyoctyl, or

② R<sup>11</sup> is (3,4,4-trimethyl-2,5-dioxo-imidazolidin-1-yl)-propyl, and

R<sup>12</sup> is isopropyl,

R<sup>13</sup> is methyl,

R<sup>14</sup> is -X-Y, and Y is -E,

wherein X is trimethylene and

E is protected amino;

9) R<sup>11</sup> is protected aminomethylphenylpropyl, protected aminomethylbenzyl, or protected aminopentyl,

R<sup>12</sup> is isobutyl,

R<sup>13</sup> is methyl, and

R<sup>14</sup> is hydrogen;

10) R<sup>11</sup> is phenoxyethyl, cyclohexylpropyl, toluenesulfonamido-methylbenzyl, methanesulfonamidomethylbenzyl or phthalimidomethylbenzyl,

R<sup>12</sup> is isobutyl,

R<sup>13</sup> is methyl, and

R<sup>14</sup> is -X-Y, and Y is -A-E,

wherein X is ethylene,

A is imino, and  
E is protected amidino;

11)  $R^{11}$  is phenylpropyl,

$R^{13}$  is methyl,

① when  $R^{12}$  is isobutyl,

$R^{14}$  is -X-Y, and Y is -A-E,

wherein X is methylene,

A is imino, and

E is protected amidino;

② when  $R^{12}$  is naphthylmethyl,

$R^{14}$  is -X-Y, and Y is -A-E,

wherein X is ethylene,

A is imino, and

E is protected amidino; or

C2  
COO<sup>4</sup> ③ when  $R^{12}$  is isopropyl,

$R^{14}$  is -X-Y, and Y is -E,

wherein X is trimethylene, and

E is protected amino;

12)  $R^{11}$  is protected aminomethylphenylpropyl,

①  $R^{12}$  is isobutyl,

$R^{13}$  is methyl,

$R^{14}$  is -X-Y, and Y is -E,

wherein X is methylene or ethylene, and

E is protected amino;

②  $R^{12}$  is isopropyl,

$R^{13}$  is methyl,

$R^{14}$  is -X-Y, and Y is -E,

wherein X is ethylene, and

E is protected amino;



13) R<sup>11</sup> is protected aminophenylpropyl,  
R<sup>12</sup> is isobutyl,  
R<sup>13</sup> is dimethylaminoethyl,  
R<sup>14</sup> is -X-Y, and Y is -E,  
wherein X is trimethylene, and  
E is protected amino;

CA  
CDU: 14) R<sup>11</sup> is protected guanidinophenylpropyl, protected  
guanidinomethylphenylpropyl or protected aminomethylbenzyl,  
R<sup>12</sup> is isobutyl,  
R<sup>13</sup> is methyl, and  
R<sup>14</sup> is -X-Y, and Y is -E,  
wherein X is ethylene, and  
E is protected amino; or

15) R<sup>11</sup> is protected aminomethylbenzyl,  
R<sup>12</sup> is isobutyl,  
R<sup>13</sup> is methyl, and  
R<sup>14</sup> is -X-Y, and Y is -E,  
wherein X is phenylene, and  
E is cyano.

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